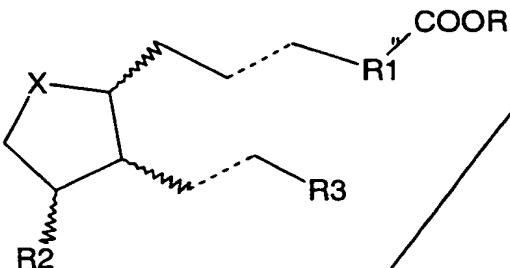


CLAIMS

1. A composition for the treatment of glaucoma and ocular hypertension comprising a therapeutically active and physiologically acceptable amount of a prostaglandin analogue which is a selective agonist for EP₁ prostanoid receptors, or a pharmaceutically acceptable salt or ester thereof.
2. The composition according to claim 1, wherein the prostaglandin analogue is derived from PGF or PGE type prostaglandins.
3. The composition according to claim 1 or 2, wherein the prostaglandin analogue is a compound of the general formula:



S-1
A-7

wherein:

the wavy bonds represent the α or β configuration, and the dashed bonds represent a single bond, a triple bond or a double bond in the cis or trans configuration;

R is hydrogen, saturated or unsaturated alkyl, preferably C₁₋₁₀ alkyl, cycloalkyl, preferably C₃₋₈ cycloalkyl, aryl, arylalkyl, preferably aryl-C₂₋₅ alkyl, or heteroaryl;

R1 is a saturated or unsaturated alkyl group having 2-5 carbon atoms, optionally interrupted by a heteroatoms selected from oxygen, sulfur and nitrogen, cycloalkyl, preferably C₃₋₇ cycloalkyl, cycloalkenyl, preferably C₃₋₇ cycloalkenyl, aryl or heteroaryl;

X is C-OH or C=O;

R2 is hydrogen, hydroxy, methyl, ethyl, methoxy or OCOR4, where R4 is a straight or branched chain saturated or unsaturated alkyl group, preferably C₁₋₁₀ alkyl, especially C₁₋₆ alkyl, or a cycloalkyl, preferably C₃₋₈ cycloalkyl, or aryl group;

A
cont'd

R3 is a straight or branched chain saturated or unsaturated alkyl group, preferably having 3-8 carbon atoms, especially 3-5 carbon atoms, optionally interrupted by one or more heteroatoms selected from oxygen, sulfur and nitrogen, each carbon atom optionally being substituted with a substituent selected from C₁₋₅ alkyl, hydroxy and carbonyl groups, hydroxy and carbonyl preferentially being attached to carbon 15 of the prostaglandin structure, and said alkyl group optionally containing a cycloalkyl, preferably C₃₋₈ cycloalkyl, aryl or heteroaryl group, which may be mono- or independently multi-substituted with C₁₋₃ alkyl, C₁₋₃ alkoxy, hydroxy, nitro, trifluoromethyl or halogen; or a pharmaceutically acceptable salt or ester thereof.

Sub C1

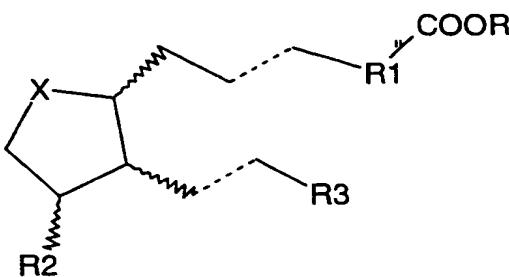
4. The composition according to claim 1, 2 or 3, wherein the prostaglandin analogue is 15(R,S)-16,16-trimethylene-PGE₂ or an alkyl ester thereof.
5. The composition according to claim 1, 2 or 3 wherein the prostaglandin analogue is 13,14-dihydro-17-(3-fluorophenyl)-18,19,20-trinor-PGE₂ or an alkyl ester thereof.
6. A method of treating glaucoma or ocular hypertension in a subject's eye, which method comprises contacting the surface of the eye with an effective intraocular pressure reducing amount of a therapeutically active and physiologically acceptable prostaglandin analogue, which is a selective agonist for EP₁ prostanoid receptors, or a pharmaceutically acceptable salt or ester thereof.

Sub D1

7. The method according to claim 6, wherein the prostaglandin analogue is derived from PGF or PGE prostaglandins.

Sub A2

8. The method according to claim 6 or 7, wherein the prostaglandin analogue is a compound of the general formula:



wherein:

the wavy bonds represent the α or β configuration, and the dashed bonds represent a single bond, a triple bond or a double bond in the cis or trans configuration;

R is hydrogen, saturated or unsaturated alkyl, preferably C_{1-10} alkyl, cycloalkyl, preferably C_{3-8} cycloalkyl, aryl, arylalkyl, preferably aryl/ C_{2-5} alkyl, or heteroaryl;

R1 is a saturated or unsaturated alkyl group having 2-5 carbon atoms, optionally interrupted by a heteroatoms selected from oxygen, sulfur and nitrogen, cycloalkyl, preferably C_{3-7} cycloalkyl, cycloalkenyl, preferably C_{3-7} cycloalkenyl, aryl or heteroaryl;

X is C-OH or C=O;

R2 is hydrogen, hydroxy, methyl, ethyl, methoxy or OCOR4, where R4 is a straight or branched chain saturated or unsaturated alkyl group, preferably C_{1-10} alkyl, especially C_{1-6} alkyl, or a cycloalkyl, preferably C_{3-8} cycloalkyl, or aryl group;

R3 is a straight or branched chain saturated or unsaturated alkyl group, preferably having 3-8 carbon atoms, especially 3-5 carbon atoms, optionally interrupted by one or more heteroatoms selected from oxygen, sulfur and nitrogen, each carbon atom optionally being substituted with a substituent selected from C_{1-5} alkyl, hydroxy and carbonyl groups, hydroxy and carbonyl preferentially being attached to carbon 15 of the prostaglandin structure, and said alkyl group optionally containing a cycloalkyl, preferably C_{3-8} cycloalkyl, aryl or heteroaryl group, which may be mono- or independently multi-substituted with C_{1-3} alkyl, C_{1-3} alkoxy, hydroxy, nitro, trifluoromethyl or halogen; or a pharmaceutically acceptable salt or ester thereof.

A² cont'd

9. The composition according to claim 6, 7 or 8, wherein the prostaglandin analogue is 15(R,S)-16,16-trimethylene-PGE₂ or an alkyl ester thereof.

A² cont'd

10. The composition according to claim 6, 7 or 8 wherein the prostaglandin analogue is 13,14-dihydro-17-(3-fluorophenyl)-18,19,20-trinor-PGE₂ or an alkyl ester thereof.

Sub

11. The method according to ~~any one of claims 6-10~~, wherein a therapeutically active and physiologically acceptable composition containing said prostaglandin analogue is administered topically on the eye 1-3 times daily.

12. Use of a prostaglandin analogue which is a selective agonist for EP₁ prostanoid receptors as defined in any one of claims 1 to 4 for the preparation of a medicament for treatment of glaucoma and ocular hypertension.

*Add
A²*

*Add
B1*